

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
29 September 2005 (29.09.2005)

PCT

(10) International Publication Number
WO 2005/090359 A3

(51) International Patent Classification:
C07D 495/04 (2006.01) **A61P 25/00** (2006.01)
A61K 31/5513 (2006.01)

(21) International Application Number:
PCT/EP2005/002876

(22) International Filing Date: 17 March 2005 (17.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
P200400079 18 March 2004 (18.03.2004) SI
P200400311 16 November 2004 (16.11.2004) SI

(71) Applicant (for all designated States except US): **LEK PHARMACEUTICALS D.D.** [SI/SI]; Verovskova 57, 1526 Ljubljana (SI).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **MESAR, Tomaz** [SI/SI]; Ljubljanska 27, 1236 Trzin (SI). **COPAR, Anton** [SI/SI]; Staretov trg 1, 1275 Smartno pri Litiji (SI). **STURM, Hubert** [AT/AT]; Edith-Stein-Weg 2, A-6020 Innsbruck (AT). **LUDESCHER, Johannes** [AT/AT]; Kleinsöll 101, A-6252 Breitenbach (AT).

(74) Agent: **DIETZ, Joerg**; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

(88) Date of publication of the international search report:
26 April 2007

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SYNTHESIS OF 2-METHYL-4-(4-METHYL-1-PIPERAZINYL)-10H-THIENO[2, 3-B][1,5]BENZODIAZEPINE AND SALTS THEREOF

(57) Abstract: The invention belongs to the field of organic chemistry and relates to a new process for the purification of olanzapine comprising preparation of acid addition salts of olanzapine and transformation thereof into a pharmaceutically acceptable pure and discoloured final product. The present invention also relates to new processes for the preparation of pure olanzapine.



WO 2005/090359 A3